9-29-06

ACCESS DB # 200415

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SEP - 1, 2006

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 9/1

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10608689

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following: MG

Title of Invention: _____

Inventors (please provide full names): _____

_____

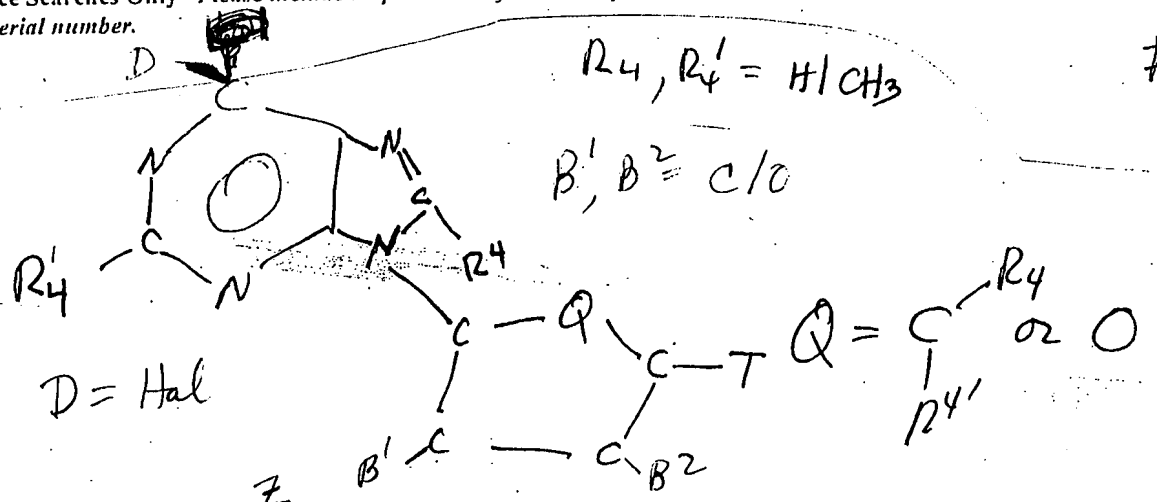
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

#202



claim 6

$T = C - A - Z$ (Z crossed out)

A = Bond or linker of 1-20 atoms, each = C or Ring-N

cannot be $C(=Q'')$ or C-in-a-heterocyclic ring or C-in-a-phenyl ring

Q'' = O/S/N

Z = Hal or O − Cj − (Hal | Cj(=O)-C | C(=S)-C)

Exclude

1) Q = O, D = Cl, T = CH_2Hal compound

2) Q = O, D = Cl, B' = B2 = OH, T = C-F or C-C-F or C-A-O-C-F or C-A-O-C-C-F

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 12:18:29 ON 08 SEP 2006

=> d his

     FILE 'REGISTRY' ENTERED AT 10:03:18 ON 08 SEP 2006
                ACT BER689/A
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L2              STR
L3   (    248400)SEA FILE=REGISTRY SSS FUL L1
L4           180 SEA FILE=REGISTRY SUB=L3 SSS FUL L2
L5              STR L1
L6            50 S L5

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L7             1 S US20040127434/PN
                SEL RN

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L8            36 S E1-E36
L9              STR
L10            0 S L9
L11             STR L9
L12           46 S L11
L13             STR L11
L14           50 S L13
L15       131651 S L13 FUL
L16           24 S L8 AND L15
L17             STR L11
L18           23 S L17 SAM  SUB=L15
L19          479 S L17 FUL  SUB=L15
L20           12 S L19 AND L16
L21          278 S L19 NOT 1-100/P
L22           12 S L16 NOT L20
L23             STR L17
L24            2 S L23 SAM  SUB=L15
L25           49 S L23 FUL  SUB=L15
L26          229 S L21 NOT L25

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L28          126 S L26

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L31          170 S (L17 NOT L29) FUL  SUB=L15
L32          128 S L31 NOT L25
L33           86 S L32 NOT 1-100/P
L34             STR L17
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L36           14 S (L34 NOT L29) FUL  SUB=L15

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L40           23 S L37 NOT L39

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L44              STR L41
L45            2 S L44 SAM  SUB=L15
L46           28 S L44 FUL  SUB=L15
L47            9 S L46 AND L8

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L13              STR
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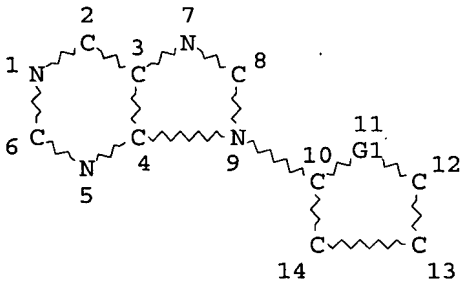

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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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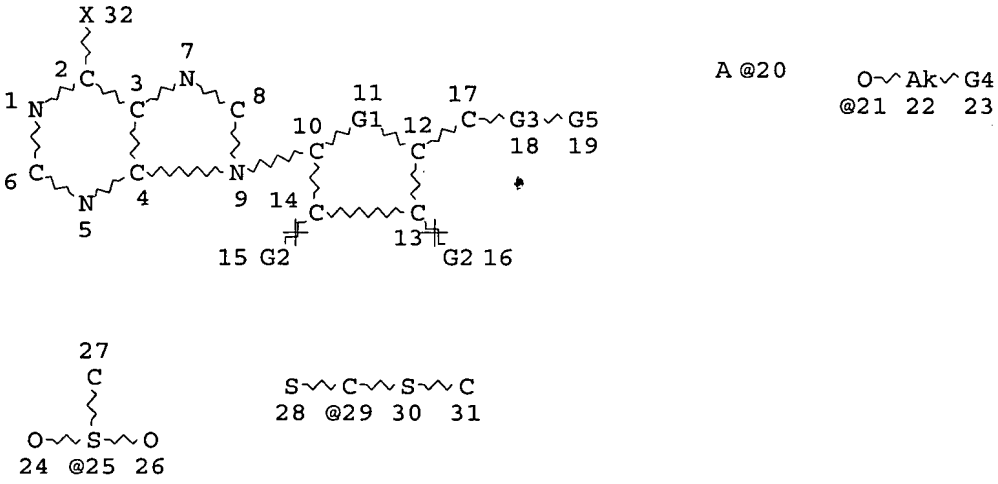


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VAR G4=X/25/29
VAR G5=X/21
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CONNECT IS E2  RC AT  17
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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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L48           14 SEA FILE=HCAPLUS ABB=ON  L46


=> fil hcap
FILE 'HCAPLUS' ENTERED AT 12:18:52 ON 08 SEP 2006


=> d l48 ibib abs hitstr hitind

L48  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:796168  HCAPLUS
DOCUMENT NUMBER:          145:230849
TITLE:                    Preparation of nucleoside derivatives as
                          inhibitors of E1 activating enzymes
INVENTOR(S):              Critchley, Stephen; Gant, Thomas G.; Langston,
                          Steven P.; Olhava, Edward J.; Peluso, Stephane
PATENT ASSIGNEE(S):       Millennium Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 214pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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     WO 2006084281         A1    20060810    WO 2006-US4637
                                                                   2006
                                                                   0202
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
             ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
             LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
             HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL,
             SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
     US 2006189636         A1    20060824    US 2006-346469
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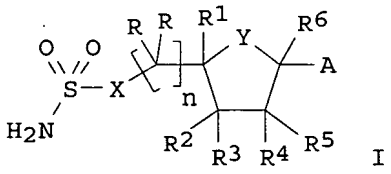
PRIORITY APPLN. INFO.: US 2005-650433P P 2006 0202
2005 0204

GI



I

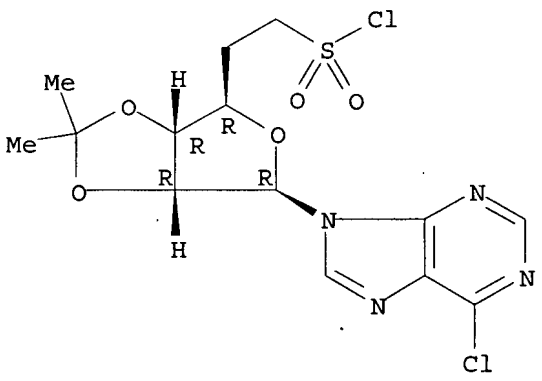
AB Nucleoside derivs. I, wherein A is substituted purine derivs.; X is CH2, CHF, CF2, NH, O; Y is O, S, substituted carbon; each R is independently H, F, aliphatic, fluoro-aliphatic; two R, taken together with the carbon atom to which they are attached, form a 3- to 6-membered carbocyclic ring; or one R, taken together with R1 and the intervening carbon atoms, forms a 3- to 6-membered spiro-cyclic ring; or two R together form O; R1 is H, or aliphatic; R and R1 taken together with the intervening carbon atoms form a 3- to 6-membered spiro-cyclic ring; R2 and R5 are independently is H , F , CN, N3, OH , alkoxy, substituted hydrazine, carbamate, amide, acyl, oxy-amide, ester, oxy-carboxylate, fluoro-aliphatic, aliphatic; R3 is H , F , aliphatic, fluoro-aliphatic; R4 is H, F, aliphatic, fluoro-aliphatic; R6 is H, aliphatic; n is 1-3; were prepared as inhibitors of E1 activating enzymes and useful for treating disorders, particularly cell proliferation disorders, including cancers, inflammatory and neurodegenerative disorders; and inflammation associated with infection and cachexia. Thus, [(2R,3S,4R,5R)-5-[6-((1S)-2,3-dihydro-1H-inden-1-ylamino)-9H-purin-9-yl]-3,4-dihydroxytetrahydrofuran-2-yl]methyl sulfamate was prepared and tested in vitro and in mice as inhibitor of E1 activating enzyme. The compds. are designed to be inhibitors of Nedd8-activating enzyme (APPBP1-Uba3) (NAE), ubiquitin activating enzyme (UAE), and/or activating enzyme (Aosl-Uba2) (SAE).

IT **905580-49-6P**
(preparation of nucleoside derivs. as inhibitors of E1 activating enzymes)

RN 905580-49-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 7, 63

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76223-07-9P 91713-43-8P 93366-90-6P 97337-37-6P
103078-55-3P 114244-82-5P 139301-94-3P 144925-02-0P
147000-89-3P 148017-28-1P 158078-04-7P 160473-70-1P
175791-53-4P 182966-41-2P 186540-95-4P 234436-48-7P
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905581-79-5P 905584-79-4P
(preparation of nucleoside derivs. as inhibitors of E1 activating enzymes)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l48 2-14 ibib abs hitstr hitind

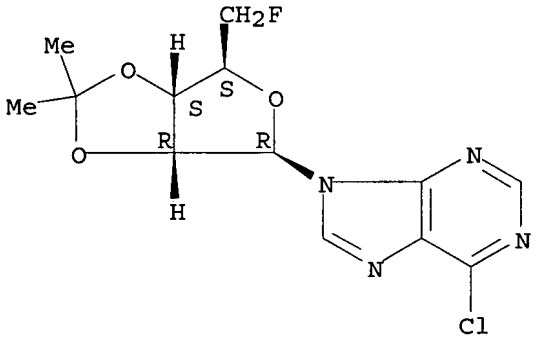
L48 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:544591 HCAPLUS
DOCUMENT NUMBER: 143:230124
TITLE: An improved synthesis of 5'-fluoro-5'-deoxyadenosines
AUTHOR(S): Ashton, Trent D.; Scammells, Peter J.
CORPORATE SOURCE: Department of Medicinal Chemistry, Victorian College of Pharmacy, Monash University, Parkville, 3052, Australia
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(14), 3361-3363
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:230124

AB Synthesis of 5'-fluoro-5'-deoxyadenosine (5'-FDA) and structurally similar compds. is generally a poor yielding process. This is attributed to the instability of the selected synthetic intermediates. Herein, we report a general synthesis of 5'-fluoro-5'-deoxy-N6-substituted adenosines including a high yielding access to 5'-FDA.

IT **862672-09-1P 862672-10-4P**
(improved synthesis of 5'-fluoro-5'-deoxy-N6-substituted adenosines)

RN 862672-09-1 HCAPLUS
CN 9H-Purine, 6-chloro-9-[5-deoxy-5-fluoro-2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

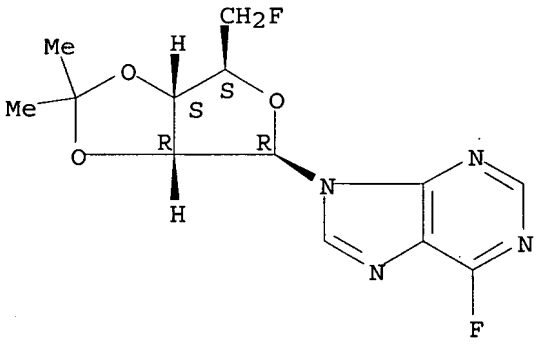
Absolute stereochemistry.



RN 862672-10-4 HCAPLUS
CN 9H-Purine, 9-[5-deoxy-5-fluoro-2,3-O-(1-methylethylidene)-β-D-

ribofuranosyl]-6-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-9 (Carbohydrates)
IT 449205-33-8P **862672-09-1P 862672-10-4P**
862844-64-2P
(improved synthesis of 5'-fluoro-5'-deoxy-N6-substituted adenosines)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:5177 HCAPLUS
DOCUMENT NUMBER: 140:42425
TITLE: Preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes
INVENTOR(S): Bigot, Antony; Stengelin, Siegfried; Jaehne, Gerhard; Herling, Andreas; Mueller, Guenter; Hock, Franz Jakob; Myers, Michael R.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1375508	A1	20040102	EP 2002-14324	2002 0627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2490253	AA	20040108	CA 2003-2490253	2003 0626
WO 2004003002	A1	20040108	WO 2003-EP6749	2003 0626
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EP 1527083	A1	20050504	EP 2003-740352	2003 0626

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CN 1671728	A	20050921	CN 2003-817966	2003 0626
JP 2006501178	T2	20060112	JP 2004-516688	2003 0626
US 2004127434	A1	20040701	US 2003-608689	2003 0627
NO 2005000398	A	20050125	NO 2005-398	2005 0125

PRIORITY APPLN. INFO.:	EP 2002-14324	A	2002 0627
	US 2002-434164P	P	2002 1217
	WO 2003-EP6749	W	2003 0626

OTHER SOURCE(S): MARPAT 140:42425

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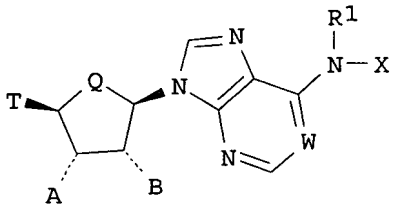


I

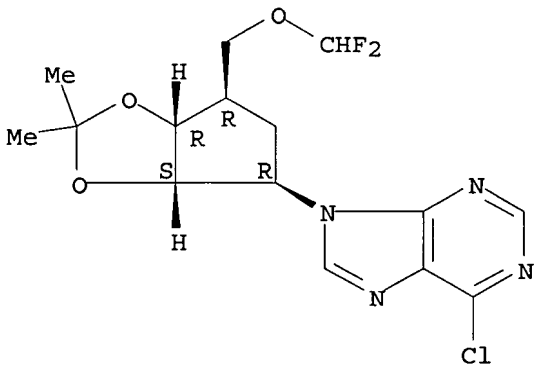
AB Adenosine analogs I, wherein W is N, NO, CH; Q is CH2, O; R1 is alkyl, allyl, 2-methylallyl, 2-butenyl, cycloalkyl; X is heterocycle; T is cycloalkyl, aryl-(alkylene)-, heterocyclyl-(alkylene), which residues are monosubstituted by halogen or OR2, halogen, pseudo-halogen, mercapto, NH2, nitro, hydroxy, unsubstituted and at least monosubstituted alkyl, alkoxy, (alkyl)amino, (alkyl)thio, aryl and heterocyclyl; R2 is alkyl substituted by at least one halogen; A and B are independently H, alkyl, hydroxy-(alkylene)-, alkoxy-(alkylene)-, or OR'; R' is hydrogen, alkyl, aryl-(alkylene)-, (alkyl)-CO, carbo-alkoxy, aryl-(alkylene)-CO-, and aryl-O-CO-; were prepared for the treatment of insulin resistance syndrome and diabetes. These compds. are useful for the manufacture of a medicament for the treatment of insulin resistance, type 2 diabetes, metabolic syndrome, lipid disorders or cardiovascular disease or for providing an anti-lipolytic effect. Thus, (1R,2S,3R,5S)-3-{6-[1-(3-chloro-phenyl-1-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl}-5-fluoromethylcyclopentane-1,2-diol was prepared and used in vitro or the treatment of insulin resistance syndrome and diabetes. Measurement of insulin sensitivity in conscious insulin resistant Zucker fatty rats or Zucker diabetic fatty (ZDF) rats is reported. Effect of title nucleosides on contractile force and heart rate, is reported.

IT **636600-41-4P 636600-42-5P 636600-43-6P**
636600-44-7P 636600-45-8P 636600-46-9P
636600-47-0P
(preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)

RN 636600-41-4 HCAPLUS

CN 9H-Purine, 6-chloro-9-[(3aS,4R,6R,6aR)-6-[(difluoromethoxy)methyl]tetrahydro-2,2-dimethyl-4H-cyclopenta-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

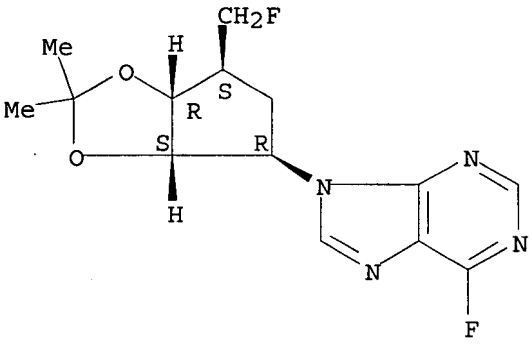
Absolute stereochemistry.



RN 636600-42-5 HCAPLUS

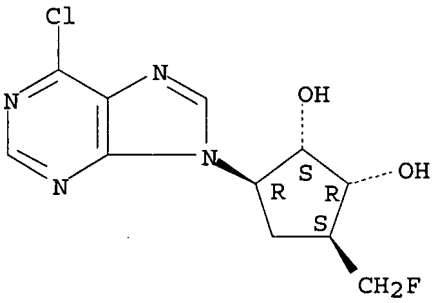
CN 9H-Purine, 6-fluoro-9-[(3aS,4R,6S,6aR)-6-(fluoromethyl)tetrahydro-2,2-dimethyl-4H-cyclopenta-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



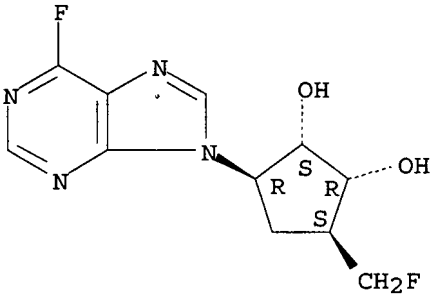
RN 636600-43-6 HCAPLUS
CN 1,2-Cyclopentanediol, 3-(6-chloro-9H-purin-9-yl)-5-(fluoromethyl)-, (1R,2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



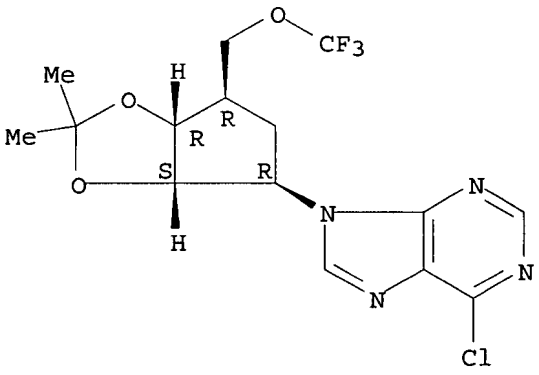
RN 636600-44-7 HCAPLUS
CN 1,2-Cyclopentanediol, 3-(fluoromethyl)-5-(6-fluoro-9H-purin-9-yl)-, (1S,2R,3S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



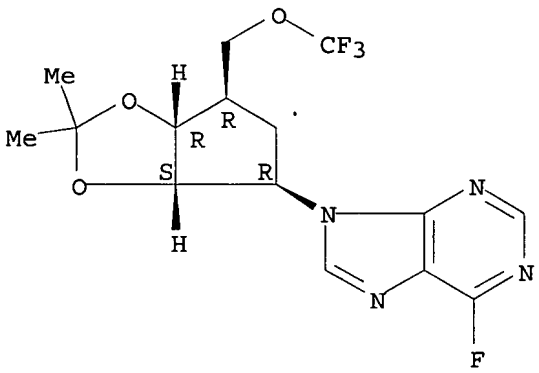
RN 636600-45-8 HCAPLUS
CN 9H-Purine, 6-chloro-9-[(3aS,4R,6R,6aR)-tetrahydro-2,2-dimethyl-6-[(trifluoromethoxy)methyl]-4H-cyclopenta-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



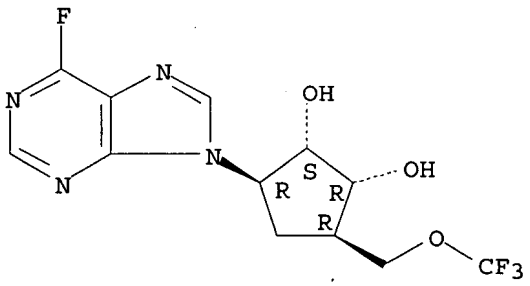
RN 636600-46-9 HCAPLUS
CN 9H-Purine, 6-fluoro-9-[(3aS,4R,6R,6aR)-tetrahydro-2,2-dimethyl-6-[(trifluoromethoxy)methyl]-4H-cyclopenta-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636600-47-0 HCAPLUS
CN 1,2-Cyclopentanediol, 3-(6-fluoro-9H-purin-9-yl)-5-[(trifluoromethoxy)methyl]-, (1R,2S,3R,5R)- (9CI) (CA INDEX NAME)

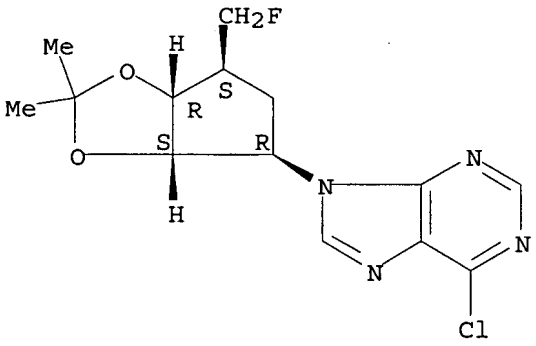
Absolute stereochemistry.



IT **636600-25-4P 636600-33-4P**
(preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)
RN 636600-25-4 HCAPLUS
CN 9H-Purine, 6-chloro-9-[(3aS,4R,6S,6aR)-6-(fluoromethyl)tetrahydro-

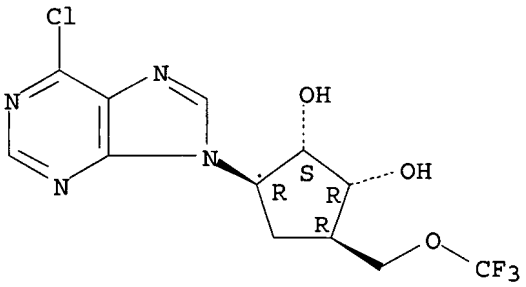
2,2-dimethyl-4H-cyclopenta-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636600-33-4 HCAPLUS
CN 1,2-Cyclopentanediol, 3-(6-chloro-9H-purin-9-yl)-5-[(trifluoromethoxy)methyl]-, (1R,2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07H019-167
ICS A61K031-70
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 63
IT 636600-26-5P 636600-28-7P 636600-31-2P 636600-34-5P
636600-35-6P 636600-36-7P 636600-37-8P 636600-38-9P
636600-39-0P 636600-40-3P **636600-41-4P**
636600-42-5P 636600-43-6P 636600-44-7P
636600-45-8P 636600-46-9P 636600-47-0P
(preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)
IT 636600-20-9P 636600-21-0P 636600-22-1P 636600-23-2P
636600-25-4P 636600-27-6P 636600-29-8P 636600-30-1P
636600-33-4P
(preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

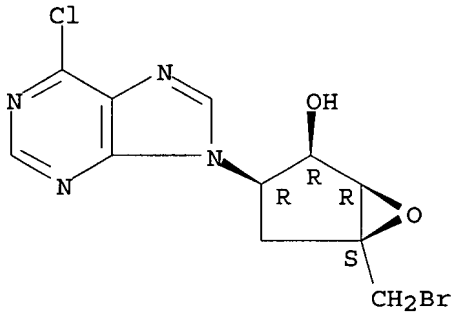
L48 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:382172 HCAPLUS
DOCUMENT NUMBER: 133:193401

TITLE: Palladium-Catalyzed Enantioselective Synthesis of Carbanucleosides
AUTHOR(S): Trost, Barry M.; Madsen, Robert; Guile, Simon D.; Brown, Brian
CORPORATE SOURCE: Department of Chemistry, Stanford University, Stanford, CA, 94305-5080, USA
SOURCE: Journal of the American Chemical Society (2000), 122(25), 5947-5956
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:193401

AB A general strategy has been developed for enantioselective synthesis of diverse carbanucleosides. The key step is a Pd(0)-catalyzed enantioselective allylic amination of cis-3,5-dibenzoyloxycyclopent-2-ene with the nucleobase. (-)-Aristeromycin and (-)-neplanocin A as well as their 2',3'-diepi isomers were also prepared

IT **188907-74-6P**
(palladium catalyzed amination in enantioselective synthesis of carbanucleosides)
RN 188907-74-6 HCAPLUS
CN 6-Oxabicyclo[3.1.0]hexan-2-ol, 5-(bromomethyl)-3-(6-chloro-9H-purin-9-yl)-, (1R,2R,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-9 (Carbohydrates)
IT 181868-33-7P 181868-38-2P 181868-46-2P 188907-60-0P
188907-61-1P 188907-62-2P 188907-68-8P 188907-69-9P
188907-70-2P 188907-71-3P 188907-72-4P 188907-73-5P
188907-74-6P 188907-75-7P 188907-78-0P 188907-79-1P
188907-81-5P 188907-83-7P 188907-85-9P 288866-30-8P
288866-31-9P 288866-39-7P 288866-40-0P 288866-41-1P
288866-42-2P 288866-43-3P 288866-44-4P 288866-45-5P
288866-46-6P 289030-43-9P 289030-44-0P
(palladium catalyzed amination in enantioselective synthesis of carbanucleosides)

L48 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:325951 HCAPLUS
DOCUMENT NUMBER: 130:325349
TITLE: Preparation of nucleosides as adenosine A1 receptors
INVENTOR(S): Box, Philip Charles; Judkins, Brian David; Pennell, Andrew Michael Kenneth

PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924450	A2	19990520	WO 1998-EP7022	1998 1106
WO 9924450	A3	19990819		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309199	AA	19990520	CA 1998-2309199	1998 1106
AU 9912327	A1	19990531	AU 1999-12327	1998 1106
EP 1027363	A2	20000816	EP 1998-955538	1998 1106
EP 1027363	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813973	A	20000926	BR 1998-13973	1998 1106
TR 200002157	T2	20001121	TR 2000-200002157	1998 1106
EE 200000284	A	20010815	EE 2000-284	1998 1106
JP 2001522858	T2	20011120	JP 2000-520458	1998 1106
AT 242259	E	20030615	AT 1998-955538	1998 1106
ES 2201552	T3	20040316	ES 1998-955538	1998 1106
NO 2000002360	A	20000705	NO 2000-2360	2000 0505
HR 2000000276	A1	20001231	HR 2000-276	2000 0508

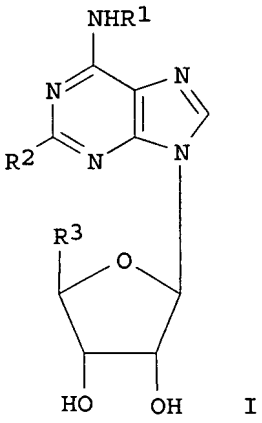
US 6407076 B1 20020618 US 2000-530574 2000 0627

PRIORITY APPLN. INFO.: GB 1997-23566 A 1997 1108

WO 1998-EP7022 W 1998 1106

OTHER SOURCE(S): MARPAT 130:325349

GI



I

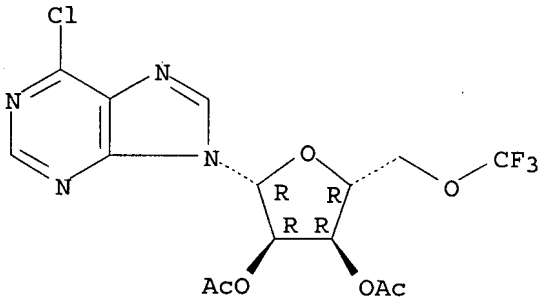
AB Deoxyfluoro nucleosides I which are agonists at the adenosine A1 receptor wherein R1 represents cycloalkyl, heterocylic,alkyl, bicyclic heterocycle, aryl; R2 represents C1-3 alkyl, halogen or hydrogen; R3 represents a fluorinated straight or branched O-alkyl group of 1-6 carbon atoms and salts and solvates thereof, in particular, physiol. acceptable solvates and salts thereof. These compds. are agonists at the Adenosine A1 receptor. Thus, N-(tetrahydro-pyran-4-yl)-5'-O-trifluoromethyladenosine was prepared and tested as adenosine A1 receptor (equipotent concentration ratio relative to NECA = 8.40).

IT **223761-79-3P 223761-80-6P 223761-81-7P 223761-91-9P 223761-92-0P**
(preparation of nucleosides as adenosine A1 receptors)

RN 223761-79-3 HCAPLUS

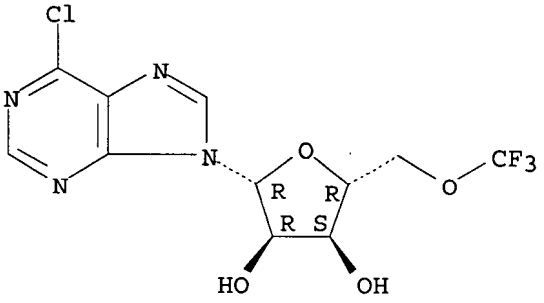
CN 9H-Purine, 6-chloro-9-[2,3-di-O-acetyl-5-O-(trifluoromethyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



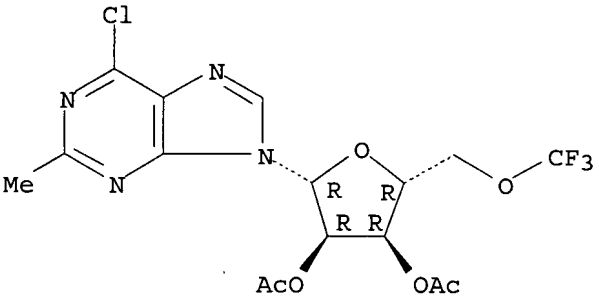
RN 223761-80-6 HCAPLUS
CN 9H-Purine, 6-chloro-9-[5-O-(trifluoromethyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



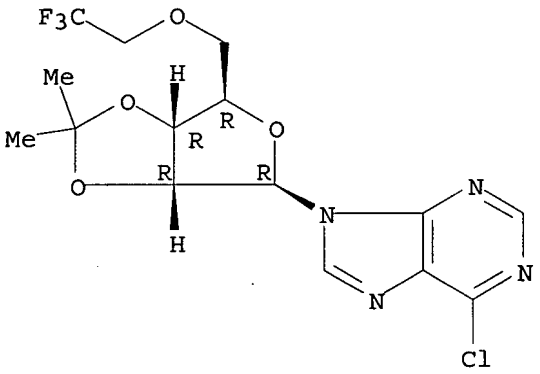
RN 223761-81-7 HCAPLUS
CN 9H-Purine, 6-chloro-9-[2,3-di-O-acetyl-5-O-(trifluoromethyl)-β-D-ribofuranosyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



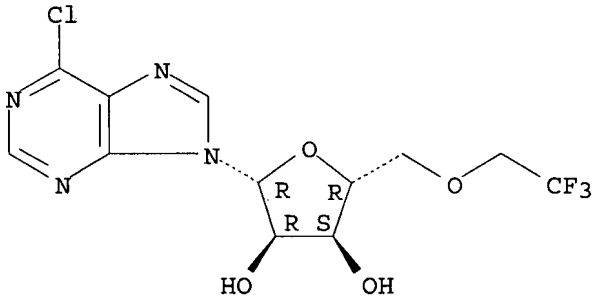
RN 223761-91-9 HCAPLUS
CN 9H-Purine, 6-chloro-9-[2,3-O-(1-methylethylidene)-5-O-(2,2,2-trifluoroethyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223761-92-0 HCAPLUS
CN 9H-Purine, 6-chloro-9-[5-O-(2,2,2-trifluoroethyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07H019-00
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
IT 68327-04-8P 103626-58-0P 223756-94-3P 223761-75-9P
223761-76-0P 223761-77-1P 223761-78-2P **223761-79-3P**
223761-80-6P 223761-81-7P 223761-82-8P
223761-83-9P 223761-84-0P 223761-85-1P 223761-86-2P
223761-87-3P 223761-88-4P 223761-89-5P 223761-90-8P
223761-91-9P 223761-92-0P 223761-93-1P
223761-94-2P 223761-95-3P 223761-96-4P 223761-97-5P
(preparation of nucleosides as adenosine A1 receptors)

L48 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:325950 HCAPLUS
DOCUMENT NUMBER: 130:338350
TITLE: Preparation of deoxyfluoro nucleosides as adenosine A1 receptors
INVENTOR(S): Cousins, Richard Peter Charles; Cox, Brian; Eldred, Colin David; Pennell, Andrew Michael Kenneth
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

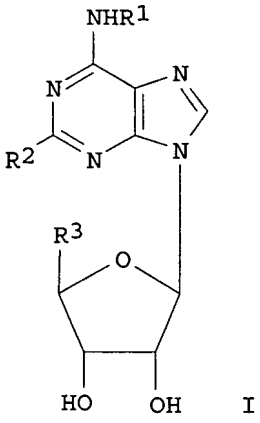
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924449	A2	19990520	WO 1998-EP7021	1998 1106
WO 9924449	A3	19990819		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9810125	A	20000505	ZA 1998-10125	1998 1105
CA 2309200	AA	19990520	CA 1998-2309200	1998 1106
AU 9920483	A1	19990531	AU 1999-20483	1998 1106
EP 1030857	A2	20000830	EP 1998-965151	1998 1106
EP 1030857	B1	20040818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813976	A	20000926	BR 1998-13976	1998 1106
TR 200002131	T2	20010122	TR 2000-200002131	1998 1106
EE 200000285	A	20010815	EE 2000-285	1998 1106
JP 2001522857	T2	20011120	JP 2000-520457	1998 1106
AT 273990	E	20040915	AT 1998-965151	1998 1106
EP 1457495	A1	20040915	EP 2004-76482	1998 1106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
ES 2222621	T3	20050201	ES 1998-965151	1998 1106
NO 2000002361	A	20000705	NO 2000-2361	2000 0505

HR 2000000275	A1	20001231	HR 2000-275	20000508
US 6455510	B1	20020924	US 2000-530573	20000615
PRIORITY APPLN. INFO.:			GB 1997-23589 A	19971108
			EP 1998-965151 A3	19981106
			WO 1998-EP7021 W	19981106

OTHER SOURCE(S): MARPAT 130:338350

GI



I

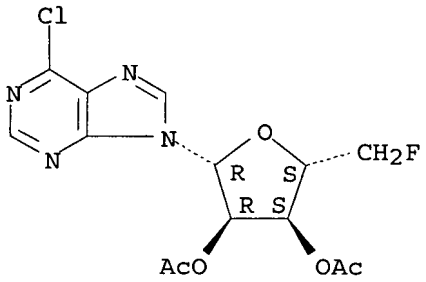
AB Deoxyfluoro nucleosides I which are agonists at the adenosine A1 receptor wherein R1 represents cycloalkyl, heterocylic,alkyl, bicyclic heterocycle, aryl; R2 represents C1-3 alkyl, halogen or hydrogen; R3 represents a fluorinated straight or branched alkyl group of 1-6 carbon atoms and salts and solvates thereof, in particular, physiol. acceptable solvates and salts thereof. These compds. are agonists at the Adenosine A1 receptor. Thus, 5'-deoxy-5'-fluoro-N-(tetrahydro-pyran-4-yl)-adenosine was prepared and tested as adenosine A1 receptor (equipotent concentration ratio relative to NECA = 1.9).

IT **1426-59-1P 169190-83-4P 223774-97-8P**
(preparation of deoxyfluoro nucleosides as adenosine A1 receptors)

RN 1426-59-1 HCAPLUS

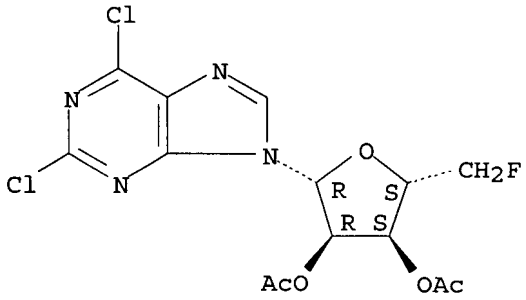
CN 9H-Purine, 6-chloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



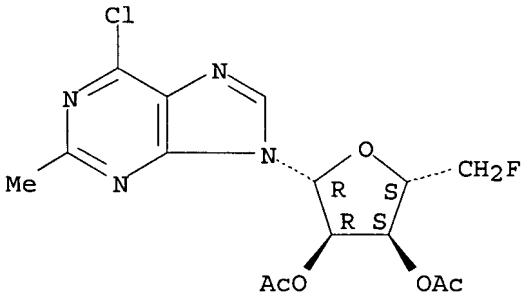
RN 169190-83-4 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223774-97-8 HCAPLUS
CN 9H-Purine, 6-chloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07H019-00
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
IT **1426-59-1P** 151266-35-2P **169190-83-4P**
223756-94-3P 223761-82-8P 223761-83-9P 223774-94-5P
223774-95-6P 223774-96-7P **223774-97-8P** 223774-98-9P
223774-99-0P 223775-01-7P 223775-03-9P 223775-04-0P
223775-05-1P 223775-07-3P 223775-08-4P
(preparation of deoxyfluoro nucleosides as adenosine A1 receptors)

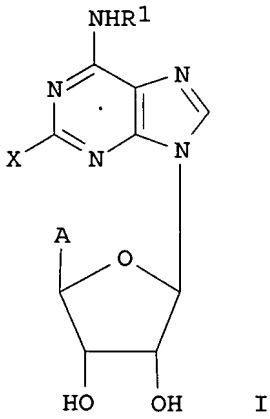
L48 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:623045 HCAPLUS
DOCUMENT NUMBER: 127:278413
TITLE: Preparation of nucleosides for treating disorders related to cytokines in mammals
INVENTOR(S): Knutsen, Lars; Olsen, Uffe Bang; Bowler, Andrew Neil
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733591	A1	19970918	WO 1997-DK108	19970312
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9733590	A1	19970918	WO 1997-DK107	19970312
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9720224	A1	19971001	AU 1997-20224	19970312
AU 9720225	A1	19971001	AU 1997-20225	19970312
ZA 9702190	A	19971010	ZA 1997-2190	19970313
ZA 9702193	A	19971021	ZA 1997-2193	19970313

PRIORITY APPLN. INFO.: DK 1996-293 A 19960313
DK 1996-591 A

			1996 0521
	DK 1996-590		
			1996 0521
	WO 1997-DK107	W	
			1997 0312
	WO 1997-DK108	W	
			1997 0312

OTHER SOURCE(S): MARPAT 127:278413
GI



I

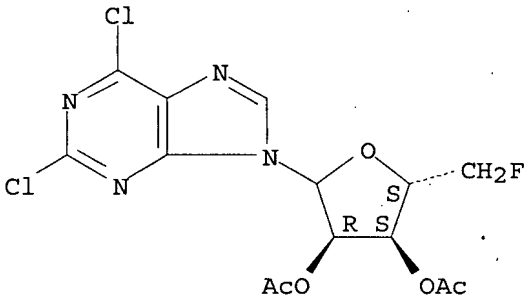
AB Preparation of nucleosides I (R1 = heterocycle, imino; X = H, halo, amino, perhalomethyl, cyano, alkyl, alkoxy, alkylthio, alkylamino, Ph; A = vinyl, CH2R2, R2 = Oh, H, Cl, Br, F, CN, NH2, MeO) for treating disorders related to cytokines such as TNFα in mammals. The disorder is an auto-immune disorder, inflammation, arthritis, multiple sclerosis, stroke, osteoporosis, septic shock or menstrual complications. Thus, 2-chloro-N-methoxyadenosine was prepared and tested for its auto-immune disorder and showed LPS-induced TNFα inhibition rat whole blood (IC50 = 3.0 μM).

IT **196497-09-3P**
(preparation of nucleosides for treating disorders related to cytokines in mammals)

RN 196497-09-3 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

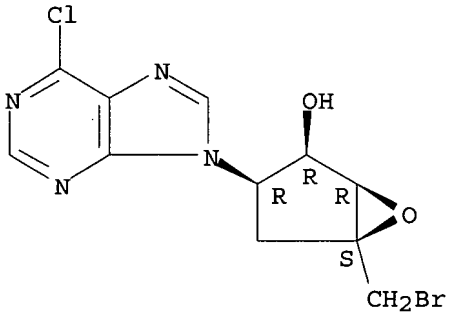
Absolute stereochemistry.



IC ICM A61K031-70
ICS C07H019-167
CC 33-9 (Carbohydrates)
IT 3253-93-8P 3371-73-1P 4104-43-2P 7718-62-9P 13256-11-6P
13571-04-5P 15373-23-6P 33985-44-3P 38838-05-0P
92856-14-9P, N-(2-Phenylethoxy)phthalimide 151378-79-9P
154493-11-5P 154493-12-6P 154493-19-3P 154493-27-3P
169190-78-7P 169190-81-2P 169190-85-6P 169190-86-7P
169190-87-8P 169190-89-0P 169190-90-3P 169190-92-5P
169190-94-7P 169190-97-0P 169190-98-1P 169274-64-0P
188402-04-2P 196496-77-2P 196496-79-4P **196497-09-3P**
196497-13-9P 196497-16-2P 196497-17-3P 196497-18-4P
196497-21-9P 196497-22-0P 196497-23-1P 196497-30-0P
196497-33-3P
(preparation of nucleosides for treating disorders related to cytokines in mammals)

L48 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:187288 HCAPLUS
DOCUMENT NUMBER: 126:277701
TITLE: An enantio- and diastereo-controlled synthesis of (-)-neplanocin A and its 2,3-di-epi isomer
AUTHOR(S): Trost, Barry M.; Madsen, Robert; Guile, Simon D.
CORPORATE SOURCE: Dep. Chemistry, Stanford Univ., Stanford, CA, 94305-5080, USA
SOURCE: Tetrahedron Letters (1997), 38(10), 1707-1710
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:277701
AB An enantioselective Pd catalyzed desymmetrization of cis-3,5-dibenzoyloxycyclopent-2-ene combined with a diastereoselective epoxidn. provided a common intermediate that can bifurcate to form either (-)-neplanocin A or its 2,3-di-epi isomer.
IT **188907-74-6P**
(stereocontrolled preparation of neplanocin A and its epi isomer)
RN 188907-74-6 HCAPLUS
CN 6-Oxabicyclo[3.1.0]hexan-2-ol, 5-(bromomethyl)-3-(6-chloro-9H-purin-9-yl)-, (1R,2R,3R,5S)- (9CI) (CA INDEX NAME)

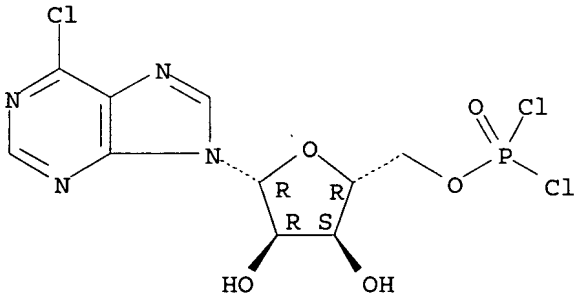
Absolute stereochemistry.



CC 33-9 (Carbohydrates)
IT 79386-50-8P 181868-33-7P 188907-60-0P 188907-61-1P
188907-62-2P 188907-68-8P 188907-69-9P 188907-70-2P
188907-71-3P 188907-72-4P 188907-73-5P **188907-74-6P**
188907-75-7P 188907-76-8P 188907-78-0P 188907-79-1P
188907-81-5P 188907-83-7P 188907-85-9P 188915-74-4P
(stereocontrolled preparation of neplanocin A and its epi isomer)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:741340 HCAPLUS
DOCUMENT NUMBER: 126:75173
TITLE: Novel synthesis of nucleoside 5'-polyphosphates
AUTHOR(S): Hoffmann, C.; Genieser, H. G.; Veron, M.; Jastorff, B.
CORPORATE SOURCE: Inst. Umweltforschung Technol., Univ. Bremen, Bremen, D-28359, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(21), 2571-2574
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:75173
AB We report a novel synthetic method to prepare nucleoside 5'-di- and triphosphates simultaneously. Their preparative separation and the possibilities to influence the product ratio were investigated. Preliminary results of the triphosphates to act as phosphate donors for the nucleoside diphosphate kinase (EC 2.7.4.6) are presented.
IT **185341-64-4P**
(synthesis of nucleoside 5'-polyphosphates)
RN 185341-64-4 HCAPLUS
CN 9H-Purine, 6-chloro-9-[5-O-(dichlorophosphinyl)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



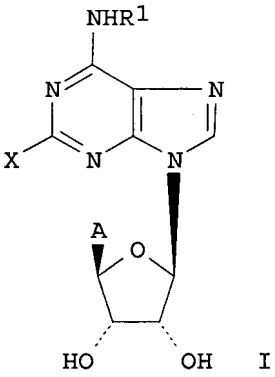
CC 33-9 (Carbohydrates)
Section cross-reference(s): 7
IT 56-65-5P, preparation 58-64-0P, Adenosine diphosphate, preparation 10058-66-9P 21080-53-5P 23197-96-8P 34051-17-7P 55673-61-5P 59128-86-8P 68924-32-3P 75340-71-5P **185341-64-4P** 185341-65-5P 185341-66-6P 185341-67-7P 185341-68-8P 185341-69-9P 185341-70-2P 185341-71-3P
(synthesis of nucleoside 5'-polyphosphates)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:867585 HCAPLUS
DOCUMENT NUMBER: 123:286531
TITLE: Preparation of adenosine derivatives for treatment of central nervous system diseases
INVENTOR(S): Lau, Jesper; Knutsen, Lars Jacob Stray
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507921	A1	19950323	WO 1994-DK344	1994 0915
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5589467	A	19961231	US 1994-306232	1994 0914
CA 2171940	AA	19950323	CA 1994-2171940	1994 0915
AU 9476519	A1	19950403	AU 1994-76519	1994

				0915
AU 678053	B2	19970515		
EP 719275	A1	19960703	EP 1994-926815	
				1994
				0915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 11511436	T2	19991005	JP 1994-508922	
				1994
				0915
ZA 9407201	A	19960318	ZA 1994-7201	
				1994
				0916
FI 9601219	A	19960515	FI 1996-1219	
				1996
				0315
NO 9601071	A	19960515	NO 1996-1071	
				1996
				0315
PRIORITY APPLN. INFO.:			DK 1993-1043 A	
				1993
				0917
			DK 1994-310 A	
				1994
				0316
			WO 1994-DK344 W	
				1994
				0915

OTHER SOURCE(S): MARPAT 123:286531
GI

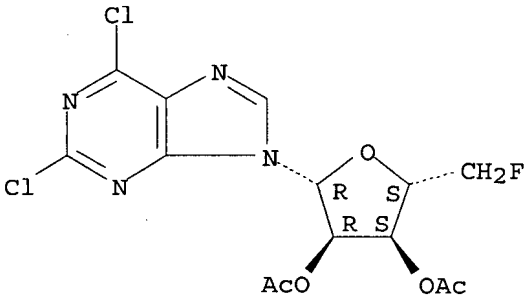


I

AB The title compds. I [X is halogen, amino, perhalomethyl, cyano, C1-6-alkoxy, C1-6-alkylthio or C1-6-alkylamino; A is Me, halomethyl, cyanomethyl, aminomethyl, vinyl, methylthiomethyl or methoxymethyl; R1 is selected from optionally substituted N-bonded heterocyclics] are prepared 2,5'-Dichloro-5'-deoxy-N-(1-piperidinyl)adenosine (II) (preparation given) showed ED50 of 0.4 mg/Kg against DMCM-induced seizures in in animals. In the in vitro test for the binding to the adenosine A1 receptors, II showed Ki value of 6.4 nM.

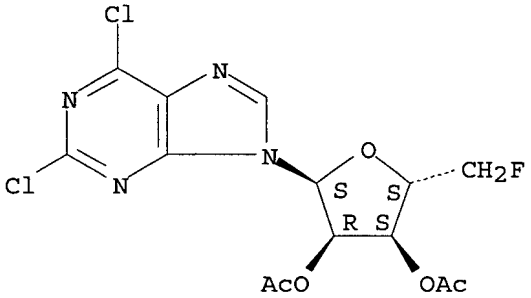
IT **169190-83-4P 169190-84-5P**
(preparation of adenosine derivs. for treatment of central nervous system diseases)
RN 169190-83-4 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169190-84-5 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-α-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07H019-16
ICS C07H019-167; A61K031-70
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
IT 443-27-6P 3253-93-8P 3371-73-1P 33985-44-3P 65969-36-0P
78341-97-6P 144993-84-0P 149115-31-1P 151378-79-9P
169190-77-6P 169190-78-7P 169190-79-8P 169190-80-1P
169190-81-2P 169190-82-3P **169190-83-4P**
169190-84-5P 169190-85-6P 169190-86-7P 169190-87-8P
169190-88-9P 169190-89-0P 169190-90-3P 169190-91-4P
169190-92-5P 169190-93-6P 169190-94-7P 169190-95-8P
169190-96-9P 169190-97-0P 169190-98-1P 169191-00-8P
169191-01-9P 169191-02-0P 169191-03-1P 169274-64-0P
169274-65-1P
(preparation of adenosine derivs. for treatment of central nervous system diseases)

L48 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:493537 HCAPLUS

DOCUMENT NUMBER: 109:93537
TITLE: Preparation and testing of N-[(arylcycloalkyl)methyl]adenosines as analgesics, antipsychotics, sedatives, antihypertensives, and antianginals
INVENTOR(S): Bridges, Alexander J.; Hamilton, Harriet W.; Moos, Walter H.; Szotek, Deedee L.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 232813	A2	19870819	EP 1987-101268	19870130
EP 232813	A3	19890322		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4755594	A	19880705	US 1986-936766	19861209
ZA 8700120	A	19880831	ZA 1987-120	19870108
CA 1270821	A1	19900626	CA 1987-527145	19870112
AU 8767972	A1	19870806	AU 1987-67972	19870123
AU 592728	B2	19900118		
FI 8700371	A	19870801	FI 1987-371	19870128
DK 8700466	A	19870801	DK 1987-466	19870129
NO 8700390	A	19870803	NO 1987-390	19870130
NO 165843	B	19910107		
NO 165843	C	19910417		
JP 62228095	A2	19871006	JP 1987-18787	19870130

PRIORITY APPLN. INFO.: US 1986-825513 A 19860131
US 1986-936766 A 19861209

OTHER SOURCE(S): CASREACT 109:93537; MARPAT 109:93537
GI For diagram(s), see printed CA Issue.

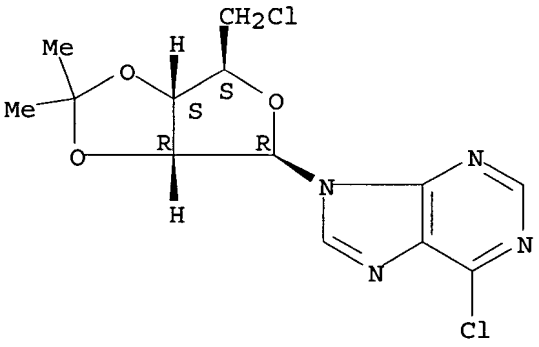
AB The title compds. [I; Ar = (substituted) Ph, naphthalenyl, thienyl, furanyl, thiazolyl, pyridyl, 2-pyrimidinyl; A = bond, O, S, CH(CH2)qMe, Me(CH2)rC(CH2)sMe; R1 = H, alkyl; G = H, alkyl, PhCH2, acyl, Bz; D = H, halo, amino, acylamino, alkylamino, cycloalkylamino; E = H, halo, amino, hydrazinyl; Z = CH2Q; Q = H, OH, halo, cyano, N3, amino, alkoxy, acyloxy, alkylthio, alkylsulfonyl, etc; m, n, q, r, s = 0-3; x = 0-2] were prepared as CNS and cardiovascular agents. 6-Chloropurine riboside, 1-phenylcyclopropanemethylamine (prepared by cyclocondensation of PhCH2CN with BrCH2CH2Br, followed by reduction), and Et2N were refluxed 2 h in EtOH to give 79% N-[(1-phenylcyclopropyl)methyl]adenosine (II). In rats 3 mg II/kg reduced blood pressure 23%. II also had an ED50 of 0.55 mg/kg in rats in a conditioned avoidance test, indicative of antipsychotic activity.

IT **115816-32-5P**
(preparation and amination of, by (phenylcyclopropyl)methylamine)

RN 115816-32-5 HCAPLUS

CN 9H-Purine, 6-chloro-9-[5-chloro-5-deoxy-2,3-O-(1-methylethylidene)-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07H019-167
ICS A61K031-70

CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT **115816-32-5P**
(preparation and amination of, by (phenylcyclopropyl)methylamine)

L48 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:454121 HCAPLUS

DOCUMENT NUMBER: 99:54121

TITLE: Aminonucleosides. XI. Bis(trimethylammonio) derivatives of adenosine

AUTHOR(S): Morr, Michael; Heeg, Erich

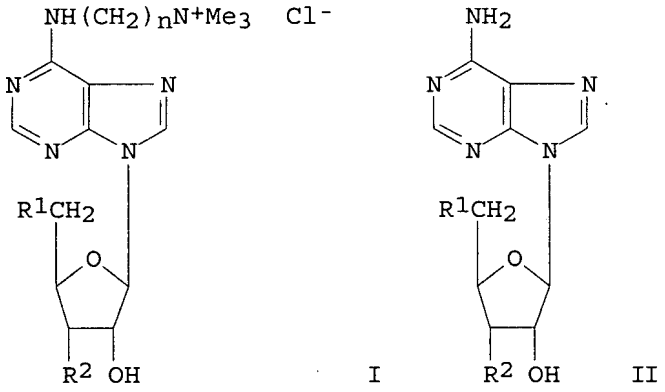
CORPORATE SOURCE: Ges. Biotechnol. Forsch. m.b.H., Braunschweig-Stoeckheim, D-3300, Fed. Rep. Ger.

SOURCE: Liebigs Annalen der Chemie (1983), (4), 575-84
CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



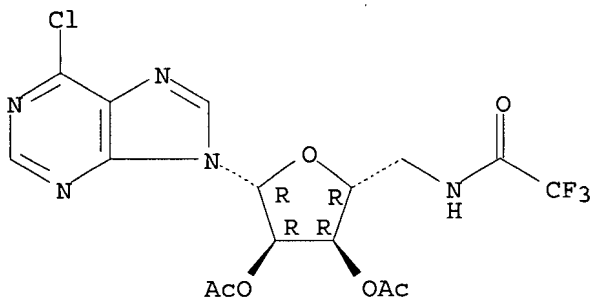
AB The title compds. (I; R1 = OH, R2 = N+Me3Cl-, n = 3; R1 = N+Me3Cl-, R2 = OH, n = 2) were prepared from II (R1 = OH, R2 = NH2; R1 = NH2, R2 = OH), resp. in several steps. I showed muscle relaxing activity (data given).

IT **86449-07-2P**
(preparation and reaction of, with (dimethylamino)ethylamine)

RN 86449-07-2 HCAPLUS

CN 9H-Purine, 6-chloro-9-[2,3-di-O-acetyl-5-deoxy-5-[(trifluoroacetyl)amino]-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-9 (Carbohydrates)
Section cross-reference(s): 1

IT **86449-07-2P**
(preparation and reaction of, with (dimethylamino)ethylamine)

L48 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:117162 HCAPLUS
DOCUMENT NUMBER: 88:117162
TITLE: Affinity chromatography of aminoacyl-transfer ribonucleic acid synthetases. Small organic ligands
AUTHOR(S): Clarke, Catherine M.; Knowles, Jeremy R.
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, USA
SOURCE: Biochemical Journal (1977), 167(2), 405-17
CODEN: BIJOAK; ISSN: 0006-2936
DOCUMENT TYPE: Journal
LANGUAGE: English

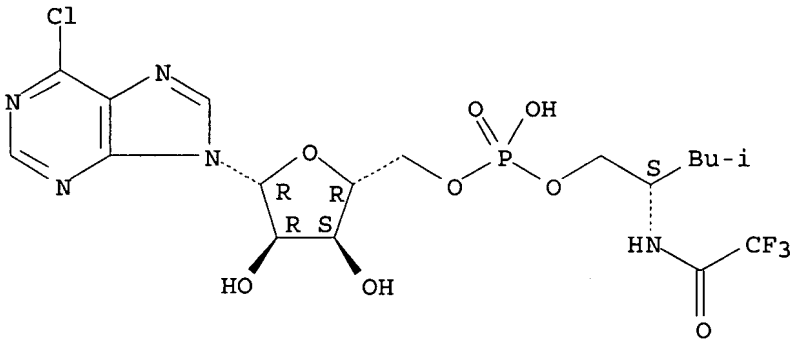
AB Affinity chromatog. of aminoacyl-tRNA synthetases (I) was performed using column ligands derived from the corresponding amino acid or aminoalkyladenylate (a nonlabile analog of the aminoacyladenylate reaction intermediate). Of the 4 possible modes of attachment of the aminoalkyladenylate to Sepharose only that via N-6 of the nucleotide allowed strong and specific I binding; the use of such columns permitted the isolation of homogeneous I from crude mixts. of the Bacillus stearothermophilus enzymes. The effect of nonspecific adsorption and the utility of precolumns and specific substrate elution were investigated and are discussed. The interactions between amino acid analogs and their corresponding Is were too weak to allow the use of these derivs. as ligands.

IT **65954-13-4P**
(preparation of)

RN 65954-13-4 HCAPLUS

CN 9H-Purine, 6-chloro-9-[5-O-[hydroxy[[4-methyl-2-[(trifluoroacetyl)amino]pentyl]oxy]phosphinyl]-β-D-ribofuranosyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 9-2 (Biochemical Methods)

IT 5843-59-4P 6216-61-1P 6216-67-7P 6372-10-7P 7533-40-6P 65954-08-7P 65954-09-8P 65954-10-1P 65954-11-2P 65954-12-3P **65954-13-4P**
(preparation of)

L48 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1959:51178 HCAPLUS

DOCUMENT NUMBER: 53:51178

ORIGINAL REFERENCE NO.: 53:9236g-i,9237a-i,9238a-c

TITLE: 5-Deoxy-5-fluoro-D-ribofuranosyl derivatives of certain purines, pyrimidines, and 5,6-dimethylbenzimidazole

AUTHOR(S): Kissman, Henry M.; Weiss, Martin J.

CORPORATE SOURCE: Am. Cyanamid Co., Pearl River, NY

SOURCE: Journal of the American Chemical Society (1958), 80, 5559-64
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 53:51178

AB Me 2,3-(O-isopropylidene)-D-ribofuranoside (I) (138 g.) in 350 cc. dry C5H5N treated dropwise with stirring and cooling with 80 cc. MeSO2Cl, kept at 3° overnight, poured into 1500 cc. iced

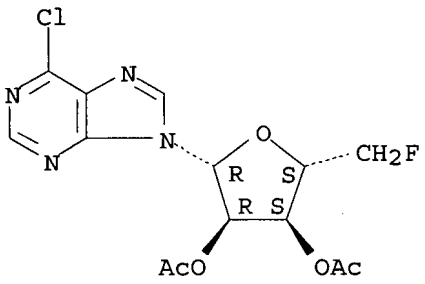
H2O, stirred, filtered, the residue washed with iced H2O, resuspended in 500 cc. H2O, and filtered yielded 137 g. 5-(O-mesyl) derivative (II) of I, m. 73-4° (all m.ps. are corrected). II (4.23 g.), 4.2 g. powdered KF.2H2O, and 50 cc. MeOH heated 18 hrs. at 150-60° in a steel bomb, cooled, diluted with MeOH, filtered, the residue washed with MeOH, the combined filtrate and washing evaporated on the steam bath, the residue triturated with 100 cc. Et2O, the solution filtered through C and evaporated, and the oily residue distilled gave 2.11 g. Me 2,3-(O-isopropylidene)-5-deoxy-5-fluoro-D-ribofuranoside (III), b0.3-0.2 62-7°, n19D 1.4325. III (4.12 g.) and 30 cc. 0.02N H2SO4 heated 3.5 hrs. with stirring on the steam bath, neutralized with solid BaCO3, centrifuged, the supernatant filtered through Celite, the filtrate evaporated in vacuo at 60°, the residue dissolved in MeOH, filtered through C, and evaporated gave 3.025 g. sirupy 5-deoxy-5-fluoro-D-ribose (IV), Rf 0.62 (4:1:5 BuOH-EtOH-H2O), containing some D-ribose (Rf 0.46). Crude IV (3.02 g.) from 4.12 g. III in 15 cc. dry C5H5N treated slowly with shaking with 6 cc. Ac2O, kept at room temperature overnight, poured into 130 cc. iced H2O, extracted with CHCl3, the extract washed, dried, evaporated, the residue distilled, the distillate (4.11 g.), b0.2 124-7°, triturated with Et2O, and recrystd. from a small amount of Et2O with C yielded 1.14 g. 1,2,3-triacetate (V) of IV, m. 100-1° (sublimed at 95-8°/0.1 mm.), [α]25D -26.8° (c 2.05, CHCl3); the mother liquors gave 2.9 g. oily material, b0.3 142-5°, n11.5D 1.4481, [α]25D 12° (c 2.16, CHCl3), probably the α-anomer of V. V (8.34 g.) in 200 cc. Et2O (saturated at 0° with dry HCl) containing 6 cc. AcCl kept 60 hrs. at 3° and evaporated in vacuo, the residue evaporated 3 times with PhMe, dissolved in 50 cc. xylene, added to 11.67 g. chloromercuri-6-chloropurine in 200 cc. xylene, refluxed 3 hrs. with stirring, cooled, filtered, evaporated in vacuo, the dark brown residue dissolved in 200 cc. CHCl3, the solution washed, with 30% aqueous KI and H2O, dried, evaporated, and the residue stirred with 80 cc. Et2O and filtered yielded 6.06 g. 6-chloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)purine (VI), m. 121-3° (Et2O), [α]24D -33.8° (c 2.12, CHCl3). VI (2.5 g.) and 60 cc. MeOH (saturated at 0° with NH3) heated 7 hrs. at 100° in a stainless steel bomb, cooled, filtered through Norite, evaporated in vacuo, and the residue triturated with EtOH yielded 2.5 g. 5'-fluoroadenosine [6-amino-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)purine] (VII), m. 205-6° (MeOH), [α]25D -56° (c 0.43, H2O), crystallizing with 1/3 mole MeOH. VI (744 mg.) in 40 cc. MeOH (saturated at 0° with NH3) kept 16 hrs. at 3°, evaporated below room temperature, again evaporated with several portions EtOAc, dried, dissolved in 5 cc. of the lower and 5 cc. of the upper phase of 2:1:1 EtOAc heptane-H2O, treated with 10 g. Celite, packed on top of a column of 250 g. Celite, and chromatographed gave 287 mg. 6-Cl analog (VIII) of VII, m. 127-8° (EtOAc), [α]25D -22.5° (c 1.07, MeOH). VI (372 mg.) and 84 mg. CS(NH2)2 heated 10 min. on the steam bath, refluxed 1.5 hrs., and filtered yielded 300 mg. 6-SH analog (IX) of VI, m. 244-5° (MeOH), [α]25D -84° (c 4.89, Me2CO). IX (960 mg.) and 25 cc. MeOH saturated at 0° with NH3, kept overnight, at 3°, evaporated in vacuo, and the residue triturated with Et2O and filtered yielded 767 mg. 6-SH analog of VIII, m. 229-30° (decomposition), [α]25D -76.0° (c 0.50, H2O). VI (2.42 g.) in 60 cc. warm MeOH treated with 262 mg. MgO and 325 mg. 10% Pd-C in 6 cc. MeO(CH2)2-OH and the mixture hydrogenated 50 min. under ambient

conditions yielded 1.69 g. 9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)purine (X), m. 129-31° (Et2O), [α]25D -19° (c 1.52, MeOH). X (1.35 g.) and 100 cc. MeOH (saturated with NH3 at 0°) kept at 3° overnight and evaporated at 50° yielded 882 mg. 5'-fluoronebularine [9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)purine] (XI), m. 152-3° (Me2CO), [α]25D -31° (c 2.69, MeOH). VIII (288 mg.) in 20 cc. EtOH treated with 50 mg. MgO and 56 mg. 10% Pd-C in 2 cc. MeO(CH2)2OH and hydrogenated 2 hrs. under ambient conditions, the crude mixture filtered through Celite, the filtrate evaporated, the residual gum (302 mg.) dissolved in 5 cc. lower phase and 5 cc. upper phase of EtOAc-H2O, the solution mixed with 10 g. Celite, and chromatographed on 100 g. Celite yielded 140 mg. XI, m. 151-3°. Sirupy chloro sugar from 6.95 g. V added in 50 cc. xylene to 9.85 g. chloromercuri-4-ethoxy-2(1H)-pyrimidinone in 150 cc. dry xylene, refluxed 3 hrs. with stirring, cooled, the brown solution decanted from some tar, the xylene evaporated in vacuo, the residue dissolved in 200 cc. CHCl3, the solution washed with 30% aqueous KI and H2O, dried, treated with Norite, evaporated in vacuo, and the residual brown gum (8.25 g.) dissolved in 20 cc. CH2Cl2 and chromatographed on 160 g. silicic acid gave after several gummy and oily fractions 5.7 g. viscous, yellow, gummy 1-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)-4-ethoxy-2(1H)-pyrimidinone (XII), Rf 0.46 and 0.76 (6.5:3.5:8.2 heptane-C6H6-MeOH), indicating contamination. Crude XII (900 mg.) in 30 cc. MeOH (saturated at 0° with NH3) heated 8 hrs. at 100° in a bomb, the brown gummy product (630 mg.) chromatographed on a cellulose powder column, and the product crystallized from EtOH with Norite yielded 137 mg. 5'-fluorocytidine [1-(5-deoxy-5-fluoro-β-D-ribofuranosyl)cytosine] (XIII), m. 205-7° with some sintering above 200°, [α]25D 51.8° (c 1.1, MeOH), Rf 0.36 (1:4:3 EtOAc-EtOH-H2O). Crude XII (4.8 g.) heated 8 hrs. at 100° with 70 cc. NH3-MeOH in a bomb and evaporated in vacuo, the residue dissolved in EtOH, the solution filtered through Norite, concentrated, seeded, and the precipitated recrystd. from EtOH gave 1.78 g. XIII. Crude XII from 25 millimoles V chromatographed on silica gel, the resulting gum (5.74 g.) dissolved in 20 cc. MeOH, treated with 9 cc. 27% HCl-MeOH, kept 24 hrs. at room temperature, evaporated in vacuo, and the residue evaporated several times with EtOH yielded 176 mg. 5'-fluorouridine [1-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-uracil] (XIV), m. 141-2° (Me2CO), [α]25D -1.9° (c 1.05, H2O). A similar run with 4.8 g. crude XII gave 1.23 g. XIV, collected in 3 crops during 3 24-hr. periods. V (6.95 g.) converted to the sirupy chloro sugar, the product in 50 cc. xylene added to 15.1 g. chloromercuri-5,6-dimethylbenzimidazole on Celite in 200 cc. xylene, refluxed 3 hrs. with stirring, filtered, the residue washed with xylene, the combinated filtrates evaporated in vacuo, the residue dissolved in 200 cc. CHCl3, the solution washed with 30% aqueous KI and H2O, dried, evaporated, the residue dissolved in 100 cc. Et2O and filtered through Norite, the filtrate evaporated, the oily residue dissolved in 40 cc. absolute MeOH containing 0.4 cc. N NaOMe, the solution refluxed a few min., treated again with 0.4 cc. N NaOMe, refluxed 0.5 hr., and evaporated in vacuo yielded 3.33 g. 5'-fluoro-β-ribazole [1-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-5,6-dimethylbenzimidazole], m. 175-6° (EtOAc-Me2CO), [α]25D -43.3° (c 1.1, MeOH).

IT **1426-59-1**, 9H-Purine, 6-chloro-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-, diacetate **2711-12-8**, 9H-Purine, 6-chloro-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-

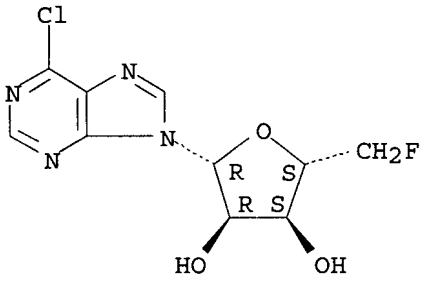
(preparation of)
RN 1426-59-1 HCAPLUS
CN 9H-Purine, 6-chloro-9-(2,3-di-O-acetyl-5-deoxy-5-fluoro-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 2711-12-8 HCAPLUS
CN 9H-Purine, 6-chloro-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)- (6CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 10G (Organic Chemistry: Heterocyclic Compounds)
IT 363-76-8, Ribose, 5-deoxy-5-fluoro-, D- 731-98-6, Adenosine, 5'-deoxy-5'-fluoro- **1426-59-1**, 9H-Purine, 6-chloro-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-, diacetate 1548-49-8, 9H-Purine-6-thiol, 9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)- 1548-82-9, Ribose, 5-deoxy-5-fluoro-, 1,2,3-triacetate 1652-62-6, 9H-Purine-6-thiol, 9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-, diacetate 2558-34-1, 2(1H)-Pyrimidinone, 1-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-4-ethoxy-, diacetate 2560-25-0, Benzimidazole, 1-(5-deoxy-5-fluoro-β-D-ribofuranosyl)-5,6-dimethyl- **2711-12-8**, 9H-Purine, 6-chloro-9-(5-deoxy-5-fluoro-β-D-ribofuranosyl)- 3874-33-7, Cytidine, 5'-deoxy-5'-fluoro- 38817-29-7, Uridine, 5'-deoxy-5'-fluoro- 81026-76-8, Methanesulfonic acid, ester with Me 2,3-O-isopropylidene-D-ribofuranoside
(preparation of)